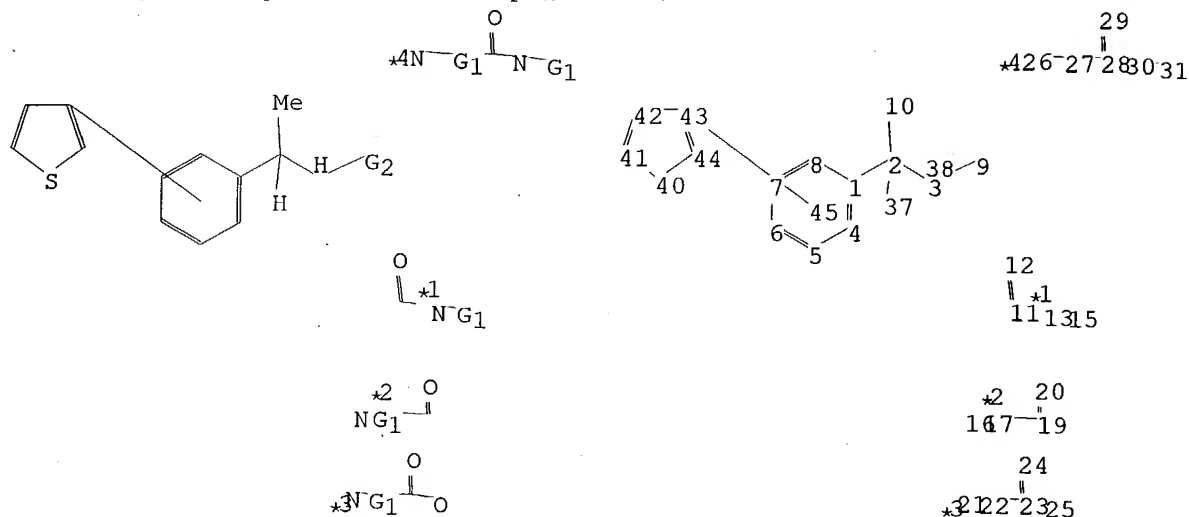


=>

Uploading C:\Program Files\Stnexp\Queries\10613684h.str



chain nodes :

2 3 9 10 11 12 13 15 16 17 19 20 21 22 23 24 25 26 27 28 29  
30 31 37 38

ring nodes :

1 4 5 6 7 8 40 41 42 43 44

chain bonds :

1-2 2-3 2-10 2-37 3-9 3-38 11-12 11-13 13-15 16-17 17-19 19-20 21-22  
22-23 23-24 23-25 26-27 27-28 28-29 28-30 30-31

ring bonds :

1-4 1-8 4-5 5-6 6-7 7-8 40-41 40-44 41-42 42-43 43-44

exact/norm bonds :

3-9 11-12 11-13 13-15 16-17 17-19 19-20 21-22 22-23 23-24 23-25 26-27  
27-28 28-29 28-30 30-31 40-41 40-44 41-42 42-43 43-44

exact bonds :

1-2 2-3 2-10 2-37 3-38

normalized bonds :

1-4 1-8 4-5 5-6 6-7 7-8

G1:H,Ak

G2:[\*1],[\*2],[\*3],[\*4]

G3:C,N

Match level :

1:Atom 2:CLASS 3:CLASS 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:CLASS 10:CLASS  
11:CLASS 12:CLASS 13:CLASS 15:CLASS 16:CLASS 17:CLASS 19:CLASS 20:CLASS  
21:CLASS 22:CLASS  
23:CLASS 24:CLASS 25:CLASS 26:CLASS 27:CLASS 28:CLASS 29:CLASS 30:CLASS  
31:CLASS 37:CLASS  
38:CLASS 40:Atom 41:Atom 42:Atom 43:Atom 44:Atom 45:CLASS

L16 STRUCTURE UPLOADED

=> s l16 full

FULL SEARCH INITIATED 23:26:22 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 20279 TO ITERATE

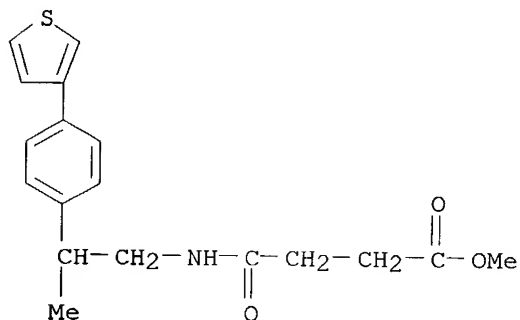
100.0% PROCESSED 20279 ITERATIONS  
SEARCH TIME: 00.00.01

2 ANSWERS

L17 2 SEA SSS FUL L16

=> d 117 1-2

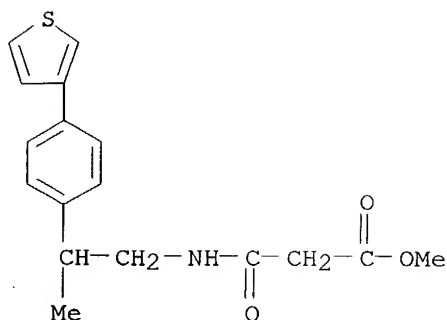
L17 ANSWER 1 OF 2 REGISTRY COPYRIGHT 2004 ACS on STN  
RN 256380-68-4 REGISTRY  
CN Butanoic acid, 4-oxo-4-[[2-[4-(3-thienyl)phenyl]propyl]amino]-, methyl  
ester (9CI) (CA INDEX NAME)  
FS 3D CONCORD  
MF C18 H21 N O3 S  
SR CA  
LC STN Files: CA, CAPLUS, USPATFULL  
DT.CA Caplus document type: Patent  
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES  
(Uses)



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L17 ANSWER 2 OF 2 REGISTRY COPYRIGHT 2004 ACS on STN  
RN 256380-67-3 REGISTRY  
CN Propanoic acid, 3-oxo-3-[[2-[4-(3-thienyl)phenyl]propyl]amino]-, methyl  
ester (9CI) (CA INDEX NAME)  
FS 3D CONCORD  
MF C17 H19 N O3 S  
SR CA  
LC STN Files: CA, CAPLUS, USPATFULL  
DT.CA Caplus document type: Patent  
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES  
(Uses)



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
161.90	1148.97

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE	TOTAL
ENTRY	SESSION
0.00	-6.30

CA SUBSCRIBER PRICE

FILE 'CAPLUS' ENTERED AT 23:26:51 ON 19 SEP 2004

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

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FILE COVERS 1907 - 19 Sep 2004 VOL 141 ISS 13

FILE LAST UPDATED: 17 Sep 2004 (20040917/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 117

L18 1 L17

=> d 118 ibib abs hitstr

L18 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2000:84383 CAPLUS

DOCUMENT NUMBER: 132:122515

TITLE: Preparation of thienylphenylpropylamides, -carbamates,

-ureas, and related compounds as glutamate receptor potentiators.

INVENTOR(S): Arnold, Macklin Brian; Bleisch, Thomas John; Ornstein, Paul Leslie; Zarrinmayeh, Hamideh; Zimmerman, Dennis Michael; Bender, David Michael; Jones, Winton Dennis

PATENT ASSIGNEE(S): Eli Lilly and Company, USA

SOURCE: Eur. Pat. Appl., 82 pp.  
CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 976744	A1	20000202	EP 1999-305981	19990728
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
CA 2338916	AA	20000210	CA 1999-2338916	19990728
WO 2000006156	A1	20000210	WO 1999-US17126	19990728
W: AE, AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9951344	A1	20000221	AU 1999-51344	19990728
JP 2002521442	T2	20020716	JP 2000-562011	19990728
US 6617351	B1	20030909	US 2001-744412	20010123
US 2004097499	A1	20040520	US 2003-613684	20030703
PRIORITY APPLN. INFO.:				US 1998-94997P P 19980731
				WO 1999-US17126 W 19990728
				US 2001-744412 A3 20010123

OTHER SOURCE(S): MARPAT 132:122515

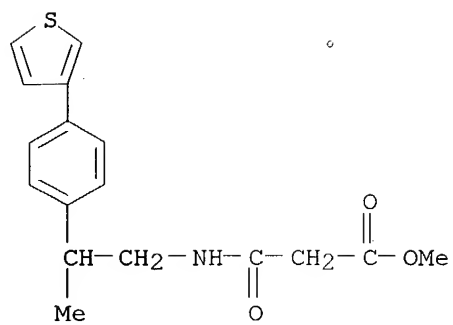
AB R1CR5R8(CR6R7)qBR2 [B = CONRa, NRaCONRa; Ra = H, alkyl; q = 0, 1; R1 = (substituted) naphthyl, Ph, furyl, thienyl, pyridyl; R2 = H, alkyl, cycloalkyl, fluoroalkyl, alkenyl, alkoxyalkyl, phenylalkyl, heteroaryl, (substituted) Ph, etc.; R5-R8 = H, alkyl, aralkyl, alkenyl, aralkenyl, aryl], were prepared as nervous system agents (no data). Thus, (R)-2-(4-bromophenyl)-N-(tert-butoxycarbonyl)propylamine (preparation given) was stirred with K<sub>2</sub>CO<sub>3</sub>, Pd(Ph<sub>3</sub>P)<sub>4</sub>, and thiophene-3-boronic acid in dioxane/H<sub>2</sub>O at 100° for 4 h to give 66% 2-[4-(3-thienyl)phenyl]propylamine trifluoroacetate. The latter in CH<sub>2</sub>Cl<sub>2</sub> was treated with Et<sub>3</sub>N and MeO<sub>2</sub>CCl to give 2-[4-(3-thienyl)phenyl]-N-(methoxycarbonyl)propylamine.

IT 256380-67-3P 256380-68-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of thienylphenylpropylamides, -carbamates, -ureas, and related compds. as glutamate receptor potentiators)

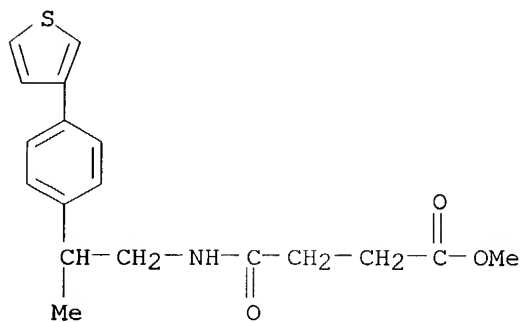
RN 256380-67-3 CAPLUS

CN Propanoic acid, 3-oxo-3-[[2-[4-(3-thienyl)phenyl]propyl]amino]-, methyl ester (9CI) (CA INDEX NAME)



RN 256380-68-4 CAPLUS

CN Butanoic acid, 4-oxo-4-[[2-[4-(3-thienyl)phenyl]propyl]amino]-, methyl ester (9CI) (CA INDEX NAME)



L19        STRUCTURE UPLOADED

=> s 119 full

FULL SEARCH INITIATED 23:28:01 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 20279 TO ITERATE

100.0% PROCESSED    20279 ITERATIONS

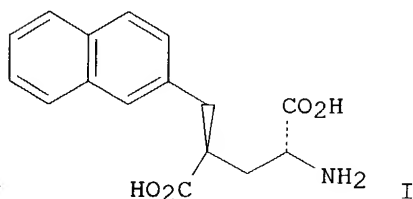
2 ANSWERS

SEARCH TIME: 00.00.01

L20            2 SEA SSS FUL L19

=> d 13 1-5 ibib abs hitstr

L3 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 2002:655594 CAPLUS  
DOCUMENT NUMBER: 137:332741  
TITLE: 4-Substituted D-Glutamic Acid Analogues: The First  
Potent Inhibitors of Glutamate Racemase (MurI) Enzyme  
with Antibacterial Activity  
AUTHOR(S): de Dios, Alfonso; Prieto, Lourdes; Martin, Jose  
Alfredo; Rubio, Almudena; Ezquerra, Jesus; Tebbe,  
Mark; Lopez de Uralde, Beatriz; Martin, Justina;  
Sanchez, Ana; LeTourneau, Deborah L.; McGee, James E.;  
Boylan, Carole; Parr, Thomas R., Jr.; Smith, Michele  
C.  
CORPORATE SOURCE: Eli Lilly and Co., Lilly S.A., Alcobendas, Madrid,  
28108, Spain  
SOURCE: Journal of Medicinal Chemistry (2002), 45(20),  
4559-4570  
CODEN: JMCMAR; ISSN: 0022-2623  
PUBLISHER: American Chemical Society  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
GI



AB The first potent inhibitors of glutamate racemase (MurI) enzyme that show whole cell antibacterial activity are described. Optically pure 4-substituted D-glutamic acid analogs with (2R,4S) stereochem. and bearing aryl-, heteroaryl-, cinnamyl-, or biaryl-Me substituents represent a novel class of glutamate racemase inhibitors. Exploration of the D-Glu core led to the identification of lead compds. 2-Naphthylmethyl derivative (I) was a potent competitive inhibitor of glutamate racemase activity ( $K_i = 16$  nM, CD assay;  $IC_{50} = 0.1$   $\mu$ g/mL high-performance liquid chromatog. (HPLC) assay). Thorough structure-activity relation (SAR) studies led to benzothienyl derivs. such as 69 and 74 with increased potency ( $IC_{50} = 0.036$  and  $0.01$   $\mu$ g/mL, resp., HPLC assay). These compds. showed potent whole cell antibacterial activity against *S. pneumoniae* PN-R6, and good correlation with the enzyme assay. Some of the prepared substances showed efficacy in an in vivo murine thigh infection model against *Streptococcus pneumoniae*. Data described herein suggest that glutamate racemase may be a viable target for developing new antibacterial agents.

IT 400625-81-2P

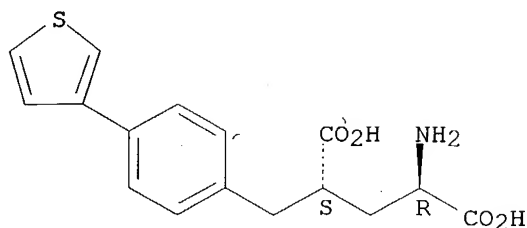
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation and structure-activity relationship of D-glutamic acid analogs as potent inhibitors of glutamate racemase with antibacterial activity)

RN 400625-81-2 CAPLUS

CN D-Glutamic acid, 4-[[4-(3-thienyl)phenyl]methyl]-, hydrochloride, (4S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



● HCl

REFERENCE COUNT: 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2002:142656 CAPLUS

DOCUMENT NUMBER: 136:200471

TITLE: Preparation of D-glutamic acid derivatives as inhibitors of glutamate racemase

INVENTOR(S): De Dios, Alfonso; Ezquerra-Carrera, Jesus; McGee, James Eugene; Martin, Jose Alfredo; Prieto, Lourdes; Rubio-Esteban, Almudena; Smith, Michele Ceceil; Tebbe, Mark Joseph

PATENT ASSIGNEE(S): Eli Lilly and Company, USA

SOURCE: PCT Int. Appl., 83 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

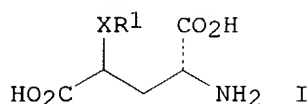
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002014261	A2	20020221	WO 2001-US22589	20010809
WO 2002014261	A3	20030327		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, FR, GB, GD, GE, GH, GM, GR, GU, HW, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, ME, MG, MK, MN, MW, MX, MY, NZ, OL, OM, OS, PA, PE, PG, PH, PI, PL, PT, PU, PZ, RE, RO, RU, SD, SE, SG, SI, SK, SL, SM, SN, SR, ST, SV, TC, TD, TF, TG, TH, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2001078945	A5	20020225	AU 2001-78945	20010809
PRIORITY APPLN. INFO.:			ES 2000-2055	A 20000810
			US 2001-288361P	P 20010503
			WO 2001-US22589	W 20010809
OTHER SOURCE(S):	MARPAT 136:200471			
GI				





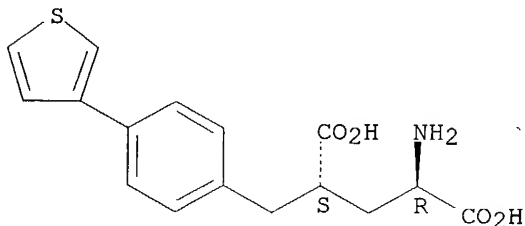
AB Compds. I [X is a bond, O, S, SO or SO<sub>2</sub>; R<sub>1</sub> = (C<sub>1</sub>-10)alkyl, (C<sub>2</sub>-10)alkenyl or -alkynyl, (C<sub>4</sub>-10)alkadienyl, carboxamido- or aminocarbonyl(C<sub>1</sub>-8)alkyl which may be substituted by (C<sub>3</sub>-10)cycloalkyl or by one or two (un)substituted aromatic groups, provided that when X represents a bond, R<sub>1</sub> can not represent a 3-phenyl-2-propenyl, 3-(4-chlorophenyl)-2-propenyl, 4-fluorobenzyl or 1-naphthylmethyl group] or their esters, amides or salts were prepared as inhibitors of glutamate racemase for use as antibiotics. Thus, (2R,4S)-2-amino-4-(2-naphthyl)methylpentanedioic acid was prepared by alkylation of D-Et N-(tert-butoxycarbonyl)pyroglutamate with 2-naphthylmethyl bromide, followed by ring cleavage/deprotection using LiOH in aqueous THF and workup.

IT **400625-81-2P**  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of D-glutamic acid derivs. as inhibitors of glutamate racemase)

RN 400625-81-2 CAPLUS

CN D-Glutamic acid, 4-[[4-(3-thienyl)phenyl]methyl]-, hydrochloride, (4S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



● HCl

L3 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2001:319662 CAPLUS

DOCUMENT NUMBER: 134:336204

TITLE: Succinic acid derivative metallo-β-lactamase inhibitors, their preparation, and their use in treating bacterial infections

INVENTOR(S): Balkovec, James M.; Hammond, Gail; Greenlee, Mark L.; Olson, Steven H.; Rouen, Gregory P.; Epstein-Toney, Jeffrey H.

PATENT ASSIGNEE(S): Merck & Co., Inc., USA

SOURCE: PCT Int. Appl., 136 pp.  
 CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001030149	A1	20010503	WO 2000-US29867	20001027
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1227722	A1	20020807	EP 2000-975482	20001027
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
JP 2003513890	T2	20030415	JP 2001-532589	20001027
AU 762935	B2	20030710	AU 2001-13530	20001027
PRIORITY APPLN. INFO.:			US 1999-162369P	P 19991028
			WO 2000-US29867	W 20001027

OTHER SOURCE(S): MARPAT 134:336204

AB Substituted succinic acid metallo- $\beta$ -lactamase inhibitors are provided which are useful potentiators of  $\beta$ -lactam antibiotics. Accordingly, the invention provides a method of treating bacterial infections in animals or humans which comprises administering, together with a  $\beta$ -lactam antibiotic, a therapeutically effective amount of a succinic acid derivative or pharmaceutically acceptable salt, prodrug, anhydride, or solvate thereof.

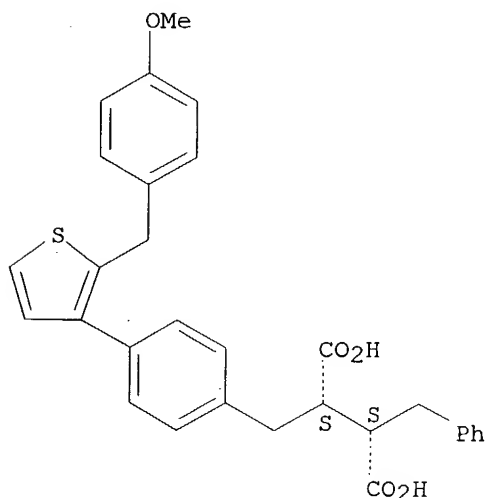
IT 337517-47-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (succinic acid derivative metallo- $\beta$ -lactamase inhibitors, preparation, and use in treating bacterial infections)

RN 337517-47-2 CAPLUS

CN Butanedioic acid, 2-[[4-[2-[(4-methoxyphenyl)methyl]-3-thienyl]phenyl]methyl]-3-(phenylmethyl)-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 337518-43-1 337518-59-9

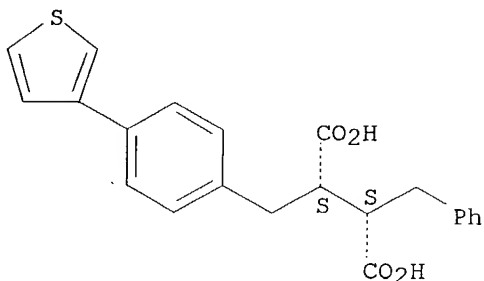
. RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(succinic acid derivative metallo- $\beta$ -lactamase inhibitors, preparation, and use in treating bacterial infections)

RN 337518-43-1 CAPLUS

CN Butanedioic acid, 2-(phenylmethyl)-3-[[4-(3-thienyl)phenyl]methyl]-, (2S,3S)- (9CI) (CA INDEX NAME)

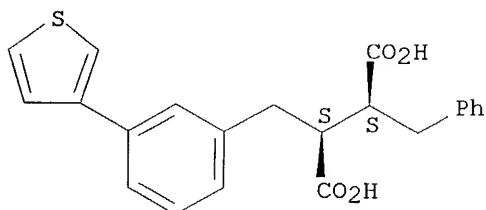
Absolute stereochemistry.



RN 337518-59-9 CAPLUS

CN Butanedioic acid, 2-(phenylmethyl)-3-[[3-(3-thienyl)phenyl]methyl]-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1995:887871 CAPLUS

DOCUMENT NUMBER: 123:340965

TITLE: Preparation of dipeptide analogs as endothelin receptor antagonists.

INVENTOR(S): Saika, Hideyuki; Murata, Toshiki; Pitterna, Thomas; Frueh, Thomas; Svensson, Lene D.; Urade, Yoshihiro; Yamamura, Takaki; Okada, Toshikazu

PATENT ASSIGNEE(S): Japat Ltd., Switz.; Ciba-Geigy Japan Ltd.

SOURCE: PCT Int. Appl., 115 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

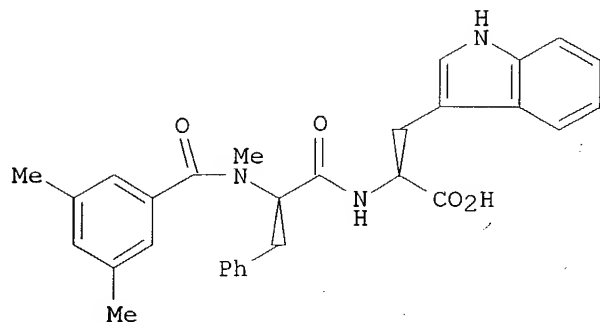
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 9512611	A1	19950511	WO 1994-EP3418	19941017
W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, JP, KG, KP, KR, KZ, LK, LR, LT, LV, MD, MG, MN, NO, NZ, PL, RO, RU, SI, SK, TJ, TT, UA, US, UZ, VN				
RW: KE, MW, SD, SZ, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2173875	AA	19950511	CA 1994-2173875	19941017
AU 9478565	A1	19950523	AU 1994-78565	19941017
AU 691201	B2	19980514		
EP 728145	A1	19960828	EP 1994-929557	19941017
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
BR 9407933	A	19961126	BR 1994-7933	19941017
JP 09504302	T2	19970428	JP 1994-512982	19941017
RU 2126418	C1	19990220	RU 1996-112148	19941017
ZA 9408541	A	19950502	ZA 1994-8541	19941031
FI 9601804	A	19960430	FI 1996-1804	19960426
NO 9601725	A	19960429	NO 1996-1725	19960429
US 5780498	A	19980714	US 1996-637720	19960430
PRIORITY APPLN. INFO.:			EP 1993-810760	A 19931101
			WO 1994-EP3418	W 19941017

OTHER SOURCE(S): MARPAT 123:340965  
GI



I

AB R1CONR2CH(CR3R31R311)C(X)YCHR4R5 [R1 = alkyl, cycloalkylalkyl, aralkyl, cycloalkyl, aryl, arylcycloalkyl, alkoxy, aryloxy, heteroaryl; R2 = H, alkyl, cycloalkyl, cycloalkylalkyl; R3, R31 = H, alkyl, cycloalkyl, aralkyl, aryl, heteroaryl; R3R31 = atoms to form a ring; R311 = H, alkyl, aryl; R2R311 = (CH2)<sub>n</sub>, (CH2)<sub>p</sub>Ar; n = 1, 2, 3; p = 0, 1, 2; Ar = (hetero)arylene; X = O, S, NH, NHOH, CH2, etc.; Y = bond, O, CH2, imino; or X = (H, OH) and Y = bond, CH2; R4 = (CH2)<sub>s</sub>Ar1; s = 0, 1, 2, 3; Ar1 = (hetero)aryl; R5 = H, carboxy, (substituted) carboxamido, PO(OH)<sub>2</sub>, tetrazolyl, CH2OH, CN], were prepared. Thus, title compound (I), prepared by solution phase means, inhibited endothelin-3 induced contraction of guinea pig trachea with pA<sub>2</sub> = 6.3. Drug formulations containing I are given.

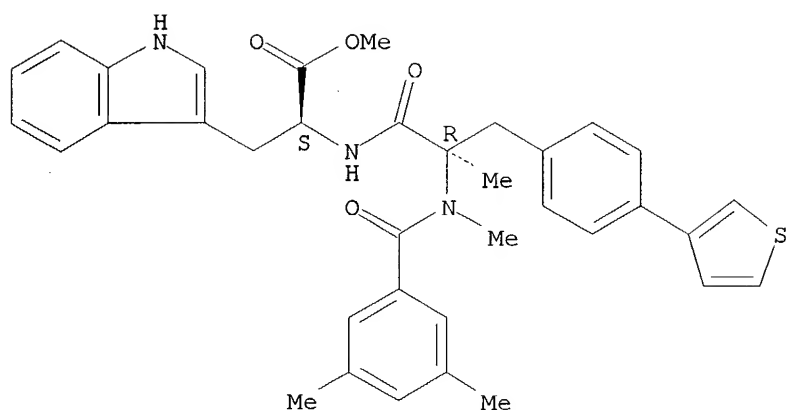
IT 169545-88-4P 169545-89-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of dipeptide analogs as endothelin receptor antagonists)

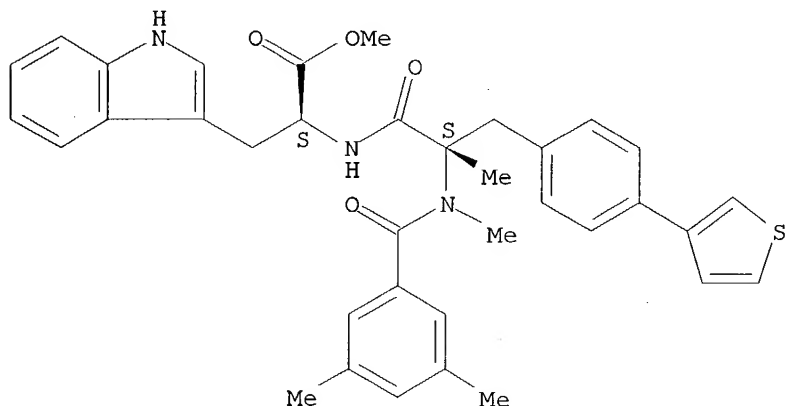
RN 169545-88-4 CAPLUS

CN L-Tryptophan, N-[N-(3,5-dimethylbenzoyl)-N,α-dimethyl-4-(3-thienyl)-D-phenylalanyl]- (9CI) (CA INDEX NAME)



RN 169547-49-3 CAPLUS  
 CN L-Tryptophan, N-[N-(3,5-dimethylbenzoyl)-N, $\alpha$ -dimethyl-4-(3-thienyl)-  
 L-phenylalanyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

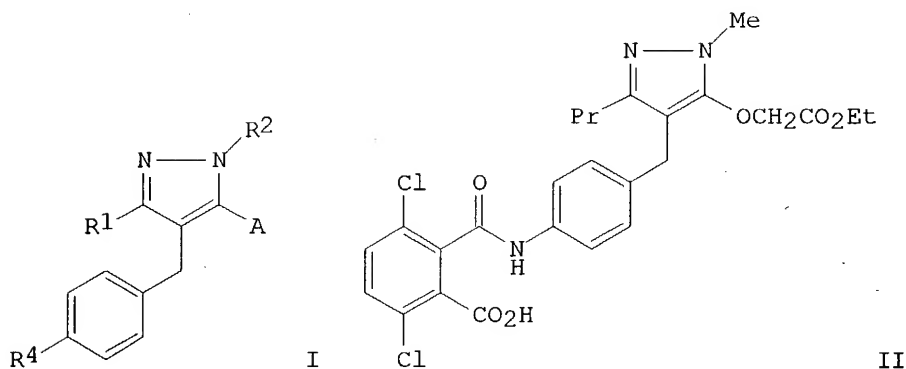


L3 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 1992:6554 CAPLUS  
 DOCUMENT NUMBER: 116:6554  
 TITLE: Pyrazole derivatives as angiotensin II-receptor  
 antagonists, process for their preparation, and  
 pharmaceutical compositions containing them  
 INVENTOR(S): Bru-Magniez, Nicole; Nicolai, Eric; Teulon, Jean Marie  
 PATENT ASSIGNEE(S): Laboratoires UPSA S. A., Fr.  
 SOURCE: Eur. Pat. Appl., 93 pp.  
 CODEN: EPXXDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: French  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 449699	A2	19911002	EP 1991-400717	19910318
EP 449699	A3	19930407		

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE

FR 2659655	A1	19910920	FR 1990-3485	19900319
FR 2659655	B1	19920724		
ZA 9101925	A	19920325	ZA 1991-1925	19910315
AU 9173591	A1	19910919	AU 1991-73591	19910318
CA 2038428	AA	19910920	CA 1991-2038428	19910318
JP 04234851	A2	19920824	JP 1991-78251	19910319
PRIORITY APPLN. INFO.:			FR 1990-3485	19900319
OTHER SOURCE(S):	MARPAT 116:6554			
GI				



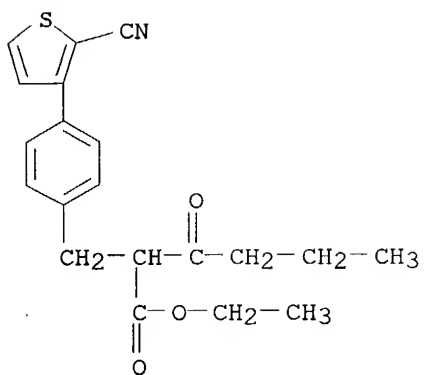
AB Pyrazoles I [R1 = alkyl, alkenyl, cycloalkyl; R2 = H, alkyl, haloalkyl, cycloalkyl, etc.; A = hydroxyalkyl, alkoxyalkyl, haloalkyl, CHO, CO2H, CONH2, etc.; R4 = NO2, NH2, CO2H, alkoxy carbonyl, various substituted Ph and thienyl groups] were prepared as cardiovascular agents, especially for treatment of hypertension or cardiac insufficiency. Thus, Et [1-methyl-3-butyl-4-(4-nitrobenzyl)pyrazol-5-yl]oxyacetate (preparation given) was hydrogenated over Raney Ni, and the resultant aminobenzyl compound condensed with 3,6-dichlorophthalic anhydride in MeCN, to give title compound II (isolated as dicyclohexylamine salt). II gave 96% displacement of [125I]-(Sar1,Tyr4,Ile8)-angiotensin II from rat suprarenal angiotensin II receptors in vitro at 10<sup>-5</sup> M.

IT **137860-57-2P 137860-60-7P**

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of, as intermediate for cardiovascular agents)

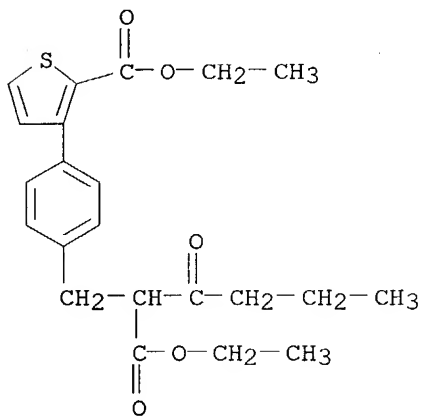
RN 137860-57-2 CAPLUS

CN Benzenepropanoic acid, 4-(2-cyano-3-thienyl)- $\alpha$ -(1-oxobutyl)-, ethyl ester (9CI) (CA INDEX NAME)



RN 137860-60-7 CAPLUS

CN 2-Thiophenecarboxylic acid, 3-[4-[2-(ethoxycarbonyl)-3-oxohexyl]phenyl]-, ethyl ester (9CI) (CA INDEX NAME)



9

=>

E ARNOLD MACKLIN BRIAN/AU 25

E1	1	ARNOLD M T/AU
E2	4	ARNOLD MACKLIN B/AU
E3	12 -->	ARNOLD MACKLIN BRIAN/AU
E4	1	ARNOLD MADELEINE C/AU
E5	1	ARNOLD MALCOLM/AU
E6	125	ARNOLD MANFRED/AU
E7	2	ARNOLD MARC/AU
E8	48	ARNOLD MARCEL/AU
E9	4	ARNOLD MARCO/AU
E10	2	ARNOLD MARCUS/AU
E11	1	ARNOLD MARGARET K/AU
E12	1	ARNOLD MARGARETE/AU
E13	4	ARNOLD MARGIE/AU
E14	1	ARNOLD MARGIT/AU
E15	1	ARNOLD MARIE ANTOINETTE/AU
E16	2	ARNOLD MARIE LUISE/AU
E17	3	ARNOLD MARILYN D/AU
E18	1	ARNOLD MARION/AU
E19	1	ARNOLD MARION D/AU
E20	3	ARNOLD MARION L/AU
E21	2	ARNOLD MARJORIE/AU
E22	1	ARNOLD MARJORIE E/AU
E23	1	ARNOLD MARJORIE LUCILLE/AU
E24	16	ARNOLD MARK/AU
E25	125	ARNOLD MARK A/AU

=> S (E2 OR E3) AND (?PHENYL(3A)THIENYL?)

4 "ARNOLD MACKLIN B"/AU  
 12 "ARNOLD MACKLIN BRIAN"/AU  
 754753 ?PHENYL  
 1198880 PH  
 9092 PHS  
 1202899 PH  
 (PH OR PHS)  
 1809329 ?PHENYL  
 (?PHENYL OR PH)  
 25703 THIENYL?  
 6415 ?PHENYL(3A)THIENYL?

L1 3 ("ARNOLD MACKLIN B"/AU OR "ARNOLD MACKLIN BRIAN"/AU) AND  
 (?PHENYL(3A)THIENYL?)

=> DIS L1 1-

YOU HAVE REQUESTED DATA FROM 3 ANSWERS - CONTINUE? Y/(N):Y

L1 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 2000:98505 CAPLUS  
 DN 132:137119  
 TI Preparation of N-substituted sulfonamide derivatives for potentiating  
 glutamate receptor function  
 IN **Arnold, Macklin Brian**; Jones, Winton Dennis; Ornstein, Paul  
 Leslie; Zarrinmayeh, Hamideh; Zimmerman, Dennis Michael  
 PA Eli Lilly and Company, USA  
 SO PCT Int. Appl., 206 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	-----	----	-----	-----	-----
PI	WO 2000006537	A1	20000210	WO 1999-US17017	19990728
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ,				



DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS,  
JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK,  
MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ,  
TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ,  
MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK,  
ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG,  
CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

AU 9952355 A1 20000221 AU 1999-52355 19990728  
US 6525099 B1 20030225 US 2001-744419 20010123

PRAI US 1998-94921P P 19980731  
WO 1999-US17017 W 19990728

OS MARPAT 132:137119

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L1 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2000:98323 CAPLUS

DN 132:137177

TI Preparation of arylalkyl sulfonamides for potentiating of glutamate  
receptor function

IN **Arnold, Macklin Brian**; Bender, David Michael; Fray, Andrew  
Hendley; Jones, Winton Dennis; Ornstein, Paul Leslie; Simon, Richard Lee;  
Zarrinmayeh, Hamideh; Zimmerman, Dennis Michael

PA Eli Lilly and Company, USA

SO PCT Int. Appl., 72 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000006157	A1	20000210	WO 1999-US17140	19990728
	W: AE, AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2338864	AA	20000210	CA 1999-2338864	19990728
	AU 9952397	A1	20000221	AU 1999-52397	19990728
	EP 980864	A2	20000223	EP 1999-306006	19990728
	EP 980864	A3	20030709		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	JP 2002521443	T2	20020716	JP 2000-562012	19990728
	US 6358981	B1	20020319	US 2001-744414	20010123
	US 2002115864	A1	20020822	US 2002-52988	20020118
	US 6515026	B2	20030204		
	US 2003171350	A1	20030911	US 2002-318483	20021212
	US 6713516	B2	20040330		
	US 2004157842	A1	20040812	US 2004-774284	20040206
PRAI	US 1998-94905P	P	19980731		
	WO 1999-US17140	W	19990728		
	US 2001-744414	A3	20010123		
	US 2002-52988	A3	20020118		
	US 2002-318483	A3	20021212		

OS MARPAT 132:137177

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L1 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 2000:84383 CAPLUS  
 DN 132:122515  
 TI Preparation of thienylphenylpropylamides, -carbamates, -ureas, and related compounds as glutamate receptor potentiators.  
 IN **Arnold, Macklin Brian**; Bleisch, Thomas John; Ornstein, Paul  
 Leslie; Zarrinmayeh, Hamideh; Zimmerman, Dennis Michael; Bender, David  
 Michael; Jones, Winton Dennis  
 PA Eli Lilly and Company, USA  
 SO Eur. Pat. Appl., 82 pp.  
 CODEN: EPXXDW  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 976744	A1	20000202	EP 1999-305981	19990728
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	CA 2338916	AA	20000210	CA 1999-2338916	19990728
	WO 2000006156	A1	20000210	WO 1999-US17126	19990728
	W: AE, AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	AU 9951344	A1	20000221	AU 1999-51344	19990728
	JP 2002521442	T2	20020716	JP 2000-562011	19990728
	US 6617351	B1	20030909	US 2001-744412	20010123
	US 2004097499	A1	20040520	US 2003-613684	20030703
PRAI	US 1998-94997P	P	19980731		
	WO 1999-US17126	W	19990728		
	US 2001-744412	A3	20010123		

OS MARPAT 132:122515

RE.CNT 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT